```
=> s l1
SAMPLE SEARCH INITIATED 11:00:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       12 TO ITERATE

100.0% PROCESSED       12 ITERATIONS                                 7 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           33 TO      447
PROJECTED ANSWERS:               7 TO      298

L2            7 SEA SSS SAM L1

=>  l1 sss full
L1 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l1 sss full
FULL SEARCH INITIATED 11:00:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      244 TO ITERATE

100.0% PROCESSED      244 ITERATIONS                             133 ANSWERS
SEARCH TIME: 00.00.01

L3          133 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 178.82     179.03

FILE 'CAPLUS' ENTERED AT 11:00:40 ON 07 JAN 2008
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FILE COVERS 1907 - 7 Jan 2008  VOL 148 ISS 2
FILE LAST UPDATED: 6 Jan 2008  (20080106/ED)

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=> s l3
L4           2 L3

=> d l4 1-2 bib abs fhitstr

L4   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2008 ACS on STN
AN   2007:1089756  CAPLUS
DN   147:406841
TI   Preparation of heterocyclic substituted pyridinylpiperazine compounds with
     CXCR3 antagonist activity
IN   Rosenblum, Stuart B.; Kozlowski, Joseph A.; Shih, Neng-Yang; McGuiness,
     Brain F.; Hobbs, Douglas W.
PA   Schering Corporation, USA; Pharmacopeia, Inc.
SO   PCT Int. Appl., 132pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     --------------      ----   --------    --------------------    --------
PI   WO 2007109238        A1    20070927    WO 2007-US6827          20070319
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB,
             GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,
             KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,
             MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2006-784504P      P     20060321
OS   MARPAT 147:406841
GI


* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB   Title compds. I [Z = N, NO, or NOH; G = (un)substituted 5-membered
     heteroaryl or heterocyclenyl containing at least one C=N moiety; R3, R5, and
     R6 independently = H, CF3, CN, alkyl, etc.; R10 independently = H, alkyl,
     cycloalkyl, etc.; R11 independently = H, CO2H, aryl, etc.; R12
     independently = H, CN, haloalkyl, etc.; D = 5-9 membered (un)substituted
     cycloalkyl, cycloalkenyl, aryl, etc.; Y = CO, -(CR13R13)p, CHR13CO, etc.;
     R13 independently = H, alkyl, alkylaryl, etc.; m and n independently =
     0-4; p = 1-4 ], and their pharmaceutically acceptable salts, are prepared
     and disclosed of possessing CXCR3 antagonist activity.  Thus, e.g., II was
     prepared by reaction of III (preparation given) with hydrazine followed by
     cyclocondensation with Et isocyanate.  Ki values for CXCR3 antagonist
     activity are assayed for I, e.g, II demonstrated a Ki < 25 nM.  Also
     disclosed is a method of using I in treating chemokine mediated diseases,
     such as, palliative therapy, curative therapy, prophylactic therapy of
```

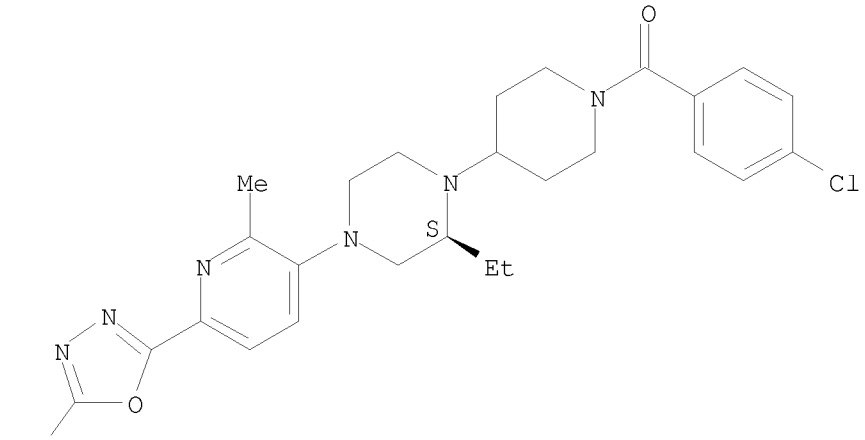
certain diseases and conditions such as inflammatory diseases (non-limiting example(s) include, psoriasis), autoimmune diseases (non-limiting example(s) include, rheumatoid arthritis, multiple sclerosis), graft rejection (non-limiting example(s) include, allograft rejection, zenograft rejection), infectious diseases (e.g, tuberculoid leprosy), fixed drug eruptions, cutaneous delayed-type hypersensitivity responses, ophthalmic inflammation, type I diabetes, viral meningitis and tumors.

IT 950848-43-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic substituted pyridinylpiperazine compds. with cxcr3 antagonist activity)

RN 950848-43-8 CAPLUS

CN Methanone, (4-chlorophenyl)[4-[(2S)-2-ethyl-4-[6-[5-(ethylamino)-1,3,4-oxadiazol-2-yl]-2-methyl-3-pyridinyl]-1-piperazinyl]-1-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:550876 CAPLUS

DN 141:106495

TI Substituted 1-piperidin-3-yl-4-piperidin-4-yl-piperazine derivatives and their use as neurokinin antagonists

IN Janssens, Frans Eduard; Sommen, Francois Maria; De Boeck, Benoit Christian Albert Ghislain; Leenaerts, Joseph Elisabeth

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 2004056364 A1 20040708 WO 2003-EP51035 20031217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2509088 A1 20040708 CA 2003-2509088 20031217
AU 2003300578 A1 20040714 AU 2003-300578 20031217
EP 1578425 A1 20050928 EP 2003-813610 20031217
EP 1578425 B1 20071121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
BR 2003017667 A 20051129 BR 2003-17667 20031217
CN 1728999 A 20060201 CN 2003-80107008 20031217
JP 2006512348 T 20060413 JP 2004-561502 20031217
NZ 541036 A 20070727 NZ 2003-541036 20031217
IN 2005DN02711 A 20070105 IN 2005-DN2711 20050620
US 2006252747 A1 20061109 US 2005-540045 20050622
MX 2005PA06888 A 20050816 MX 2005-PA6888 20050623
NO 2005003598 A 20050920 NO 2005-3598 20050722
PRAI WO 2002-EP14835 A 20021223
EP 2003-813610 A 20031217
WO 2003-EP51035 W 20031217
OS MARPAT 141:106495
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

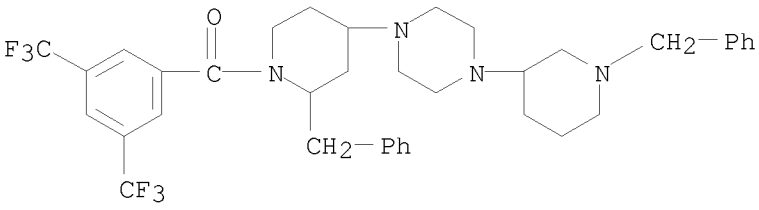
AB Title compds. I [Q = O or NR3; X = covalent bond, -O-, -S-, or -NR3; R1 independently = Ar1, Ar1-alkyl, and di(Ar1)-alkyl; R2 = Ar2, Ar2-alkyl, di(Ar2)-alkyl Het1, Het1-alkyl; R3 independently = H or alkyl; Y = covalent bond, -CO-, -SO2-, >C:CHR or >C:NR, wherein R = H, CN or NO2; M independently = covalent bond, (un)substituted-alkyl, -(un)saturated carbocycle; L = H, alkyloxy, Ar3oxy, alkylamine, etc.; Ar1 = (un)substituted phenyl; Ar2 = (un)substituted naphthalenyl or Ph with substituent(s) selected from halo, alkyl, CN, aminocarbonyl, and alkyloxy; Ar3 = (un)substituted naphthalenyl or Ph with substituent(s) selected from halo, alkyl, CN, amino, alkyloxy, OH, pyridinyl, etc.; Het1 = monocyclic heterocyclic radical selected from pyrrolyl, pyrazolyl, imidazolyl, furanyl, etc.; m = 1 or 2 provided that if m = 2, then n = 1; n = 0-2; p = 1-2; q = 0-1] and their pharmaceutically acceptable salts having neurokinin antagonistic activity, in particular NK1 antagonistic activity, a combined NK1/NK3 antagonistic activity and a combined NK1/NK2/NK3 antagonistic activity, their preparation, compns. comprising them and their use as a medicine, in particular for the treatment of schizophrenia, emesis, anxiety and depression, irritable bowel syndrome (IBS), circadian rhythm disturbances, visceral pain, neurogenic inflammation, asthma, micturition disorders such as urinary incontinence and nociception are disclosed. Thus, e.g., II was prepared via reaction of (2R-trans)-1-[3,5-

bis(trifluoromethyl)benzoyl]-2-(phenylmethyl)-4-(1-piperazinyl)piperidine (preparation given) with 1-(phenylmethyl)-3-piperidinone. The receptor binding values (pIC50) for the h-NK1 ranges for all compds. according to the invention between 10 and 6. In view of their capability to antagonize the actions of tachykinins by blocking the neurokinin receptors, and in particular antagonizing the actions of substance P, Neurokinin A and Neurokinin B by blocking the NK1, NK2 and NK3 receptors, the compds. according to the invention are useful as a medicine, in particular in the prophylactic and therapeutic treatment of tachykinin-mediated conditions, such as, for instance CNS disorders, in particular schizoaffective disorders, depression, anxiety disorders, stress-related disorders, sleep disorders, cognitive disorders, personality disorders, eating disorders, neurodegenerative diseases, addiction disorders, mood disorders, sexual dysfunction, pain and other CNS-related conditions; inflammation; allergic disorders; emesis; gastrointestinal disorders, in particular irritable bowel syndrome (IBS); skin disorders; vasospastic diseases; fibrosing and collagen diseases; disorders related to immune enhancement or suppression and rheumatic diseases and body weight control.

IT 720713-39-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(stereoselective preparation of piperidinylpiperidinylpiperazines with tachykinin antagonist activity)

RN 720713-39-3 CAPLUS

CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(phenylmethyl)-4-[4-[1-(phenylmethyl)-3-piperidinyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



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=> file caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	11.38	190.41

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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L5 0 L3

=> file chemcats

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.46	190.87

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CA SUBSCRIBER PRICE	0.00	-1.60

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FILE LAST UPDATED 22 DECEMBER 2007 (20071222/UP)

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L6 0 L3

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FULL ESTIMATED COST	0.94	191.81

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